

CAMPTOTHECIN DERIVATIVES AND PROCESS FOR Title: PREPARING SAME

ABSTRACT OF THE DISCLOSURE

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New camptothecin derivatives possessing high anti-tumor activity with slight toxicity, represented by the general formula:

wherein R¹ is a hydrogen atom, a halogen atom or an alkyl group

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with 1-4 carbon atoms and X is a chlorine atom or $-NR^2R^3$ where R^2 and R³ are the same or different and each represents a hydrogen atom, a substituted or unsubstituted alkyl group with 1-4 carbon atoms or a substituted or unsubstituted carbocyclic or heterocyclic group, with the proviso that when both R² and R³ are the substituted or unsubstituted alkyl groups, they may be combined together with the nitrogen atom, to which they are bonded, to form a heterocyclic ring which may be interrupted with -O-, -Sand/or > N-R4 in which R4 is a hydrogen atom, a substituted or unsubstituted alkyl group with 1-4 carbon atoms or a substituted or unsubstituted phenyl group and wherein the grouping -O-CO-X is bonded to a carbon atom located in any of the 9-, 10and ll-positions in the ring A of camptothecin, as well as an ammonium salt or an alkali metal salt thereof. These new camptothecin derivatives are prepared by reacting a 7-R1-camptothecin 02-2448 1 103 derivative having a hydroxyl group in any of the 9-, 10-0000 ll-positions on the ring A thereof with phosgen and then

reacting, if necessary, the resultant 7-R1-camptothecin 1 101)7/12/84 627980

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